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(54) Guanidine derivatives, their production and insecticides.

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EP-A- 0 254 859
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EP-A- 0 375 907

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Description

BACKGROUND OF THE INVENTION

1. Field of the Invention

The present invention relates to a guanidine derivative or salts thereof useful as insecticides, their production methods and insecticidal compositions containing the guanidine derivative or salts thereof.

2. Prior Art

Various synthetic compounds possessing pest controlling effects have been used as insecticides. Most of the compounds belong to organic phosphates, carbamates, organic chlorine-containing compounds or pyrethroid compounds. It is well known that frequent use of such limited categories of compounds causes such harmful influence as increased resistance of pest insects which presently brings on public discussion at various places. Some compounds among the above-mentioned insecticides exert potent insecticidal activities but show unsatisfactory effects on practical use, such as high toxicity on human beings, animals and fishes, eventual toxicity on enemies of pest insects and a high residual property in soil or the like.

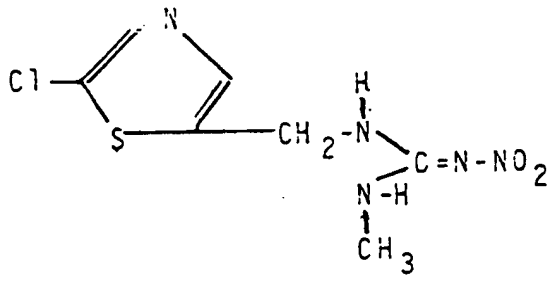
On the other hand, with respect to guanidine derivatives or salts thereof, 3-nitro-1-(3-pyridyl-methyl)guanidine, for example, is described in Chemical & Pharmaceutical Bulletin 23, 2744 (1975) and guanidine compounds possessing antiulcer activity such as cimetidine are reported in various articles or patents. However, there is no report of guanidine derivatives or salts thereof as insecticide.

SUMMARY OF THE INVENTION

Under such circumstances, the present invention is aimed to provide an insecticidal composition comprising a guanidine derivative or its salt which is low in toxicity on human beings, animals, fishes and natural enemies of pest insects, besides safety and potent pest controlling effect and is useful in agricultural, horticultural and/or home gardening fields.

Thus, it provides

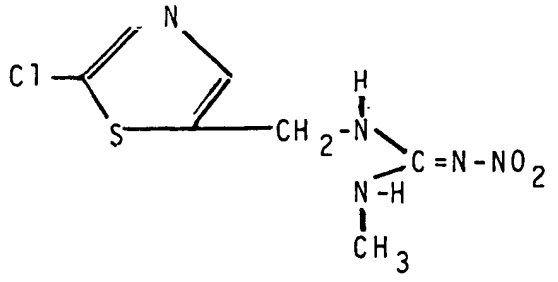
(1) an insecticidal composition comprising 1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine of the formula (I)



(I)

or a salt thereof and a carrier and/or diluent.

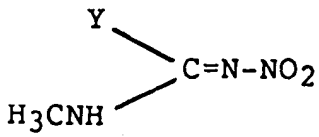
(2) 1-(2-Chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine of the formula (I)



(I)

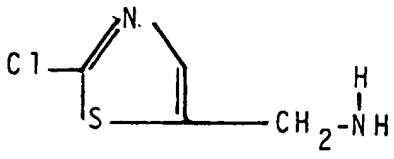
or salts thereof.

(3) Process for preparing 1-(2-chloro-5-thiazolylmethyl)-3-methyl-2-nitroguanidine or a salt thereof, which comprises reacting a compound of the formula (II)



(II)

wherein Y is a leaving group or a salt thereof, with a compound of the formula (III)



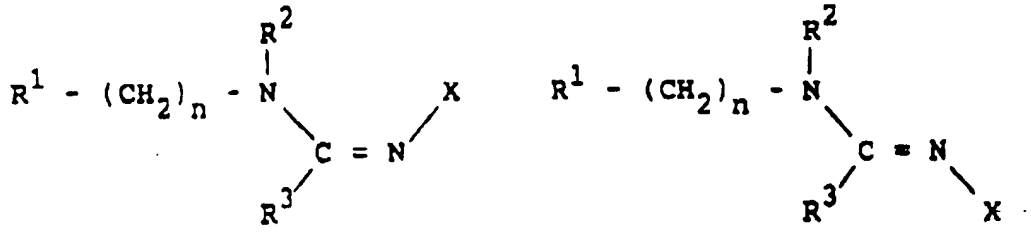
(III)

or a salt thereof.

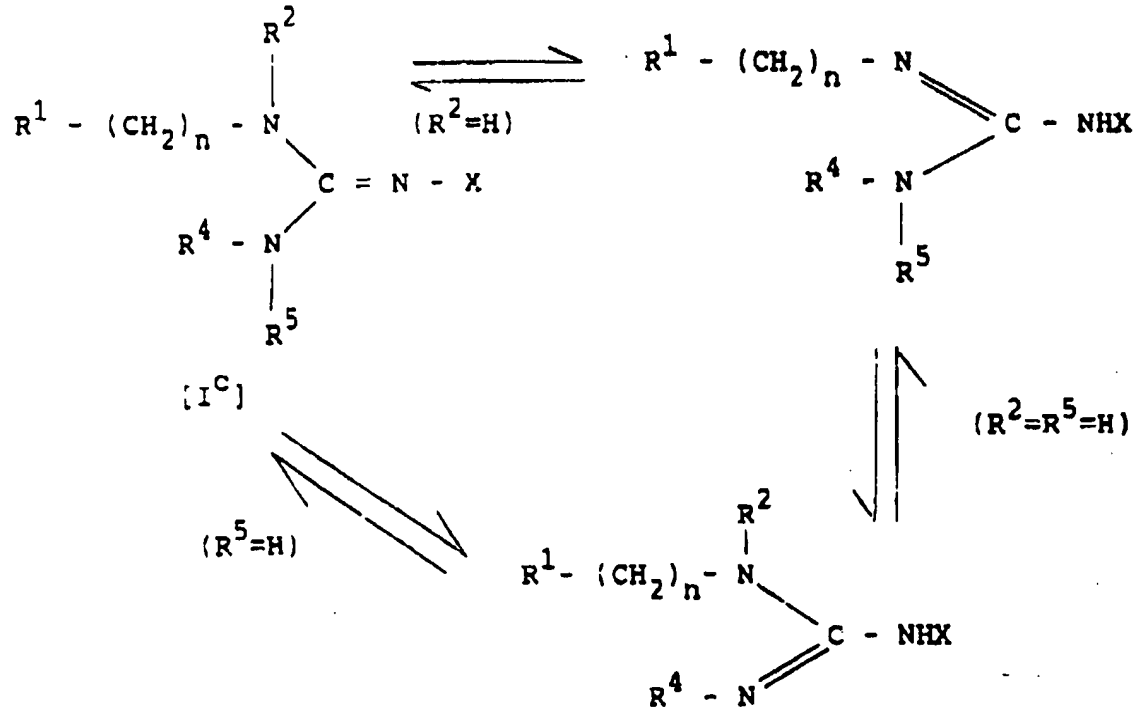
Examples of the leaving groups of Y are a halogen atom such as chlorine, bromine, iodine or fluorine; a C_{1-4} alkylsulfonyloxy group which may be substituted by one to three halogen atoms (e.g., Cl, Br or F) such as methanesulfonyloxy, ethanesulfonyloxy, butanesulfonyloxy or trifluoromethanesulfonyloxy; a C_{6-10} arylsulfonyloxy group which may be substituted by one to four halogen atoms (e.g., Cl, Br or F) such as benzenesulfonyloxy, p-toluenesulfonyloxy, p-bromobenzenesulfonyloxy or mesitylenesulfonyloxy; a C_{1-6} acyloxy group which may be substituted by one to three halogen atoms(e.g., Cl, Br or F) such as acetyloxy, propionyloxy or trifluoroacetyloxy; a C_{6-10} arylcarbonyloxy group such as benzoyloxy; hydroxy group; a C_{1-4} alkoxy group such as methoxy or ethoxy; a C_{1-4} alkylthio group such as methylthio or ethylthio; a C_{1-4} alkylsulfinyl group such as methylsulfinyl; a C_{1-4} alkylsulfonyl group such as methylsulfonyl; a C_{6-10} aryloxy group which may be substituted by one to three of a halogen (e.g., Cl, Br or F) or nitro, such as phenoxy, p-chlorophenoxy or p-nitrophenoxy; a heterocycleoxy group such as 2-pyridyloxy or 2-benzoxazolyloxy; a C_{6-10} arylthio group which may be substituted by one or two of nitro or the like such as phenylthio or p-nitrophenylthio; a C_{7-12} aralkylthio group which may be substituted by one or two of nitro or the like such as benzylthio or p-nitrobenzylthio; a heterocyclethio group such as 2-pyridylthio or 2-benzothiazolylthio; amino group; a mono- or di-C_{1-4} alkylamino group such as methylamino, ethylamino or dimethylamino and a 5-membered nitrogen-containing heterocycle group such as 1-imidazolyl or 1,2,4-triazol-1-yl.

Preferred examples of Y in compound (II) are a C_{1-4} alkylthio group such as methylthio or ethylthio, a C_{7-12} aralkylthio group such as benzylthio, a C_{1-4} alkoxy group such as methoxy or ethoxy, amino group and a mono- or di-C_{1-4} alkylamino group such as methylamino, ethylamino or dimethylamino.

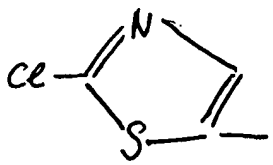
The guanidine derivative (I) or its salts form cis and trans-isomers with respect to the position of NO_2 and also can theoretically form tautomers. These isomers of the guanidine derivative (I) or its salts are included in the present invention.



(cis)-[I] (trans)-[I]



R^1 =



R^2 = H
R^3 = $NHCH_3$
R^4 = CH_3
R^5 = H
X = NO_2
n = 1

In the above formulae, the symbols have the same meanings as defined above.

Examples of the salts of the guanidine derivative (I) are the salts with an inorganic acid such as hydrochloric acid, hydrobromic acid, hydroiodic acid, phosphoric acid, sulfuric acid or perchloric acid, or an organic acid such as formic acid, acetic acid, tartaric acid, malic acid, citric acid, oxalic acid, succinic acid, benzoic acid, picric acid or p-toluenesulfonic acid.

The guanidine derivative (I) or its salts can be used as insecticide in any application form suited for general agricultural chemicals. That is the compound (I) or its salts are used in the form of preparation such as emulsifiable concentrates, oil solution, wettable powders, dusts, granules, tablets, sprays or ointment, according to the purpose of use, by dissolving or dispersing them in suitable liquid carriers, or mixing them with or absorbing them on suitable solid carriers. These preparations may contain, if necessary, emulsifying agent, suspending agent, spreading agent, penetrating agent, wetting agent, thickening agent or stabilizer, and can be prepared by any conventional method known per se.

The rate of the compound (I) or a salt thereof contained in an insecticidal preparation is suitably about 10 to 90% by weight in the case of emulsifiable concentrates or wettable powders, about 0.1 to 10% by weight in the case of oil solution or dusts and about 1 to 20% by weight in the case of granules. However, such concentration may be changed properly, depending on the purpose of use. Emulsifiable concentrates, wettable powders or the like is suitably diluted or extended (for example, to 100 to 100000 times) with water or the like, on the occasion of use, and then scattered.

Suitable examples of the liquid carriers (solvents) include solvents such as water, alcohols (for example, methanol, ethanol, n-propanol, isopropanol or ethylene glycol), ketones (for example, acetone or methyl ethyl ketone), ethers (for example, dioxane, tetrahydrofuran, ethylene glycol monomethyl ether, diethylene glycol monomethyl ether or propylene glycol monomethyl ether), aliphatic hydrocarbons (for example, kerosine, kerosene oil, fuel oil or machine oil), aromatic hydrocarbons (for example, benzene, toluene, xylene, solvent naphtha or methylnaphthalene), halogenated hydrocarbons (for example, dichloromethane, chloroform or carbon tetrachloride), acid amides (for example, dimethylformamide or dimethylacetamide), esters (for example, ethyl acetate, butyl acetate or fatty acid glycerol ester) or nitriles (for example, acetonitrile or propionitrile). These solvents are used individually or as a suitable mixture of two, or more, of them.

Suitable examples of the solid carriers (diluents or dust carrier) include vegetable powder (for example, soybean meal, tobacco meal, wheat flour or wood flour), mineral powders (for example, clays such as kaolin, bentonite, or acid clay, talcs such as talc powder or pyrophyllite powder), silicas (for example, diatomaceous earth or mica powder), aluminas, sulfur powder or active carbon. They are used individually or as a suitable mixture of two, or more, of them.

Also, suitable examples of bases for ointments include polyethylene glycol, pectin, polyalcohol esters of higher aliphatic acids (for example, glycerin monostearate), cellulose derivatives (for example, methyl cellulose), sodium alginate, bentonite, higher alcohols, polyalcohols (for example, glycerin), vaseline, white petrolatum, liquid paraffin, lard, various vegetable oils, lanolin, dehydrated lanolin, hard oil or resins. They are used individually, or as a suitable mixture of two, or more, of them or together with surface active agents mentioned below.

As surface active agents used as the emulsifying agent, spreading agent, penetrating agent or dispersing agent, nonionic or anionic surface active agents such as soaps; polyoxyethylene alkyl aryl ethers (e.g., Noigen® and EA 142® from Dai-ichi Kogyo Seiyaku K.K., Japan, and Nonal® from Toho Chemical, Japan); alkyl sulfates (e.g., Emal 10® and Emal 40® from Kao K.K., Japan); alkyl sulfonates (e.g., and Neogen® and Neogen T® from Dai-ichi Kogyo Seiyaku K.K., and Neopellex® from Kao K.K.); polyethylene glycol ethers (e.g., Nonipol 85®, Nonipol 100®, Nonipol 160® from Sanyo Kasei K.K., Japan); or polyhydric alcohol esters (e.g., Tween 20® and Tween 80® from Kao K.K.) are used, if necessary.

The guanidine derivative (I) or its salts can also be used, as occasion demands, in combination with or as an admixture with other insecticides (for example, pyrethroid insecticides, organophosphorus insecticides, carbamate insecticides or natural insecticides), acaricides, nematicides, herbicides, plant hormones, plant growth regulators, fungicides (for example, copper fungicides, organic chlorine fungicides, organic sulfur fungicides or phenol fungicides), synergistic agents, attractants, repellents, pigments and/or fertilizers.

The guanidine derivative (I) or its salts are effective in preventing sanitary or horticultural insect pests and animal and plant parasites and can exert potent insecticidal activities when they are directly contacted with insects, e.g., by applying to their living animals or plants. An interesting characteristic property of the compounds is found in that potent insecticidal activities can be achieved by once absorbing the compounds in plants through their root, leave or stem which are then sucked or bitten by insects or contacted with insects. Such property is advantageous for preventing suctorial type or mandible type insecticides. Moreover, the compound (I) and its salts possess safe and advantageous properties as agents for preventing agricultural injurious insects, such as no substantial damage on plants and less toxicity against fishes.

Specifically, the preparations containing the guanidine derivative (I) or its salts are especially effective in preventing Hemiptera injurious insects such as Eurydema rugosum, Scotinophara lurida, Riptortus clavatus, Stephanitis nashi, Laodelphax striatellus, Nilaparvata lugens, Nephotettix cincticeps, Unaspis yanonensis,

Aphis glycines, Lipaphis erysimi, Brevicoryne brassicae, Aphis gossypii; Lepidoptera injurious insects such as Spodoptera litura, Plutella xylostella, Pieris rapae crucivora, Chilo suppressalis, Autographa nigrisigna, Helicoverpa assulta, Pseudaletia separata, Mamestra brassicae, Adoxophyes orana fasciata, Notarcha derogata, Cnaphalocrocis medinalis, Phthorimaea operculella; Coleoptera injurious insects such as Epilachna vigintioctopunctata, Aulacophora femoralis, Phyllotreta striotata, Oulema oryzae, Echinocnemus squameus; Diptera injurious insects such as Musca domestica, Culex pipiens pallens, Tabanus trigonus, Delia antiqua, Delia platura; Orthosptera injurious insects such as Locusta migratoria, Gryllotalpa africana; Dictyoptera injurious insects such as Blattella germanica, Periplaneta fuliginosa; Tetranychidaes such as Tetranychus urticae, Panonychus citri, Tetranychus kanzawai, Tetranychus cinnabarinus, Panonychus ulmi, Aculops pelekassi; and Nematodes such as Aphelenchoides besseyi.

The insecticidal composition comprising the quanidine derivative (I) or its salt of the present invention is an excellent agricultural product having fairly low toxicity and good safety. It can be used in a similar way to the conventional insecticidal composition and can exert excellent effects in comparison with the conventional composition. For example, the insecticidal composition of the present invention can be applied to the target insects, by treatment in nursery box, application for stem and leaf of crop, spraying for insects, application in water of a paddy field or soil treatment of a paddy field. The amount of application may broadly vary depending on the season, place and method of application, and so forth. However, the active ingredient (the guanidine derivative (I) or its salt) is used in general, in an amount of 0.3g to 3,000g, preferably 50g to 1,000g per hectare. When the insecticidal composition of the present invention is in a wettable powder, it can be used by diluting it so as to be 0.1 - 1000 ppm, preferably 10 - 500 ppm as the final concentration of the active ingredient.

The guanidine derivative (I) or salts thereof can be prepared by the Method mentioned below. Besides, when the compound (I) is obtained in its free form or salt form, it can be converted into the corresponding salt (already mentioned salt form) or free form by the conventional methods.

The compound (I) or its salt can be prepared by reacting a compound (II) or its salt with a compound (III) or its salt.

The reaction is usually conducted in a suitable solvent, although it may be conducted without solvent. Examples of the solvents are water, alcohols such as methanol, ethanol, n-propanol or isopropanol; aromatic hydrocarbons such as benzene, toluene or xylene; halogenated hydrocarbons such as dichloromethane or chloroform; saturated hydrocarbons such as hexane, heptane or cyclohexane; ethers such as diethyl ether, tetrahydrofuran (hereinafter abbreviated as THF) or dioxane; ketones such as acetone; nitriles such as acetonitrile; sulfoxides such as dimethylsulfoxide (hereinafter abbreviated as DMSO); acid amides such as dimethylformamide (hereinafter abbreviated as DMF), esters such as ethyl acetate or carboxylic acids such as acetic acid or propionic acid. These solvents can be used singly or in admixture of two or more kinds, in an appropriate ratio such as 1:1 - 1:10. When the reaction mixture is not homogenous, the reaction may be conducted in the presence of a phase transfer catalyst such as a quaternary ammonium salt (e.g., triethylbenzylammonium chloride, tri-n-octylmethylammonium chloride, trimethyldecylammonium chloride, tetramethylammonium bromide) or crown ethers.

The reaction may be accelerated by addition of a base or metallic salt in an amount of 0.01 - 10 equivalents, preferably 0.1 - 3 equivalents. Examples of the bases are inorganic bases such as sodium hydrogen carbonate, potassium hydrogen carbonate, sodium carbonate, potassium carbonate, sodium hydroxide, potassium hydroxide, calcium hydroxide, phenyl lithium, butyl lithium, sodium hydride, potassium hydride, sodium methoxide, sodium ethoxide, metallic sodium or metallic potassium; and organic bases such as triethylamine, tributylamine, N,N-dimethylaniline, pyridine, lutidine, collidine, 4-(dimethylamino) pyridine or DBU (1,8-diazabicyclo[5,4,0]undecene-7). The above organic bases themselves can be used as a solvent, too. Examples of the metallic salts are copper salts such as copper chloride, copper bromide, copper acetate or copper sulfate; or mercury salts such as mercury chloride, mercury nitrate or mercury acetate.

Usually, the reaction temperature is in the range of -20°C to 150°C, preferably 0°C to 100°C and the reaction time is 10 minutes to 50 hours, preferably 1 to 20 hours.

The compound (I) or its salt thus obtained can be isolated and purified, e.g., by a conventional method such as concentration, concentration under reduced pressure, distillation, fractional distillation, extraction by solvent, change of basicity, redistribution, chromatography, crystallization, recrystallization or the like.

The compound (II) or salts thereof to be employed as the raw material of the method in the present invention are partially known and can be prepared e.g., by the methods described in J. Med. Chem. 20, 901 (1977), Chem. Pharm. Bull. 23, 2744 (1975) and GB-A-2,201,596 or analogues methods thereto.

The compounds (III) or its salts can be prepared by the methods described in e.g., "SHIN JIKEN-KAGAKU KOZA (New Experimental Chemistry Handbook)" issued by Maruzen Publishing Co., Ltd. of

Japan, Vol. 14 - III, pp. 1332 - 1339 and analogues ones thereto.

Activity

As will be clear from the following tests, the guanidine derivative (I) and salts thereof possess excellent insecticidal activities.

Test Example 1 (Effect against Nilaparvata lugens)

5mg of the test compound was dissolved in 0.5ml of acetone containing Tween 20® and diluted to a predetermined concentration (500 ppm) by addition of Dyne (a spreader produced by Takeda Chemical Industries. Ltd. of Japan) diluted 3000 times with water. The solution at a rate of 10 ml/pot was sprayed on leaf and stem of rice seedlings at the second leaf stage raised in a nursery box. The treated rice seedlings were put into a test tube containing water at the bottom, to which 10 larvae at 3 instar of Nilaparvata lugens were released. After being sealed with an aluminum stopper, the test tube was kept in an incu - bator adjusted to 25°C. Death number was counted 7 days after release. The mortality rate was calculated by the following formula and shown in Table 1.

$$\text{Mortality (\%)} = \frac{\text{the number of dead insects}}{\text{the number of insects released}} \times 100$$

Table 1

Compound No.	Mortality (%)
I	100

Table 1 clearly reveals that the guanidine derivative (I) or salts thereof have an excellent insecticidal effect on Nilaparvate lugens.

Test Example 2 (Effect on Spodoptera litura)

1mg of the test compound was dissolved in 0.5ml of acetone containing Tween 20® and diluted to a predetermined concentration (500 ppm) by addition of 3000 folds diluted Dyne - water. The solution at a rate of 20 ml/pot was sprayed on a soy seedling at the simple leaf unfolding stage. After the solution having dried, two simple leaves of the soy seedling were cut off and put into an ice cream cup, to which 10 larvae at 3 instar of Spodoptera litura were released. After released, the cup was kept in an incubator adjusted to 25°C. Death number was counted 2 days after release. The mortality rate was calculated by the formula written in Test Example 1, and shown in Table 2.

Table 2

Compound No.	Mortality (%)
I	100

Table 2 proves that the guanidine derivative (I) or salts thereof have an excellent insecticidal effect on Spodoptera litura.

Examples

This invention is illustrated in further detail in the Reference Example and Examples, which are only examples, and do not limit this invention. Modifications within the scope of this invention are permissible.

Elution in a column chromatography in the Reference Example and Examples was conducted while monitoring with TLC (Thin Layer Chromatography). In the TLC monitoring, the TLC plate used was Kieselgel® $60F_{254}$ manufactured by Merck Co. (70 - 230 mesh), the developing solvent was the same as the one used for eluting in the column chromatography, and the detection was conducted with a UV detector. The silica gel for the column was Kieselgel 60 manufactured by Merck Co. (West Germany) (70 - 230 mesh). NMR spectra indicate ^1H-NMR and were measured using tetramethylsilane as an internal standard with a spectrometer Varian EM390 (90MHz) and all δ values are expressed in ppm. The value shown in () for a mixed solvent as the developing solvent is a mixing ratio in volume of constituent solvents. The abbreviations used in examples and Table 3 have the following meanings.

Me	: methyl group
s	: singlet
br	: broad
d	: doublet
dd	: doublet of doublets
J	: coupling constant
Hz	: Hertz
$CDCl_3$	: deutero-chloroform
DNSO-d_6	: deutero-dimethylsulfoxide
%	: percentage by weight
mp	: melting point

Further, all of melting points and temperature were shown on the centigrade.

Reference Example 1

A mixture of 14.99g of 2-chloro-5-(chloromethyl) pyridine, 63.01g of 25% ammonia water and 60ml of acetonitrile in a stainless steel autoclave was stirred for 2 hours in an oil bath of 80°C. After adding 12.3g of 30% sodium hydroxide aqueous solution, the reaction mixture was concentrated. The residue to which 200ml of ethanol were added was dried over anhydrous magnesium sulfate and filtered to remove insoluble materials. The filtrate was concentrated and purified by a column chromatography [developing solvent: dichloromethane-methanol (4:1)] to afford 7.66g of 5-(aminomethyl)-2- chloropyridine as a yellow solid.

^1H NMR($CDCl_3$): 1.60(2H,s), 3.90(2H,s), 7.28(1H,d,J=8.5Hz), 7.67(1H,dd,J=8.5, 2.5Hz), 8.33-(1H,d,J=2.5Hz)

By the same method, 5-(aminomethyl)-2-chlorothiazole, was obtained.

Example 1

A mixture of 0.45g of 1,2-dimethyl-3-nitroisothiourea, 0.43g of 5-(aminomethyl)-2-chloropyridine and 25ml of ethanol was refluxed for 6 hours and concentrated. The residue was purified by a column chromatography [developing solvent: chloroform-ethanol (5:1)] to afford 0.25g of 1-(6-chloro-3-pyridylmethyl)-3-methyl-2-nitroguanidine.

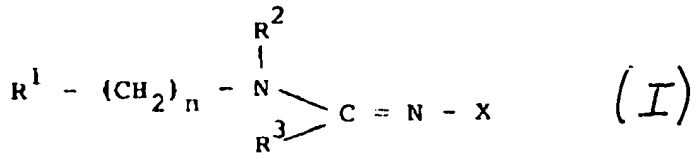
mp 150 - 152°C

Elemental analysis ($C_8H_{10}N_5O_2Cl$)			
calculated:	C; 39.44,	H; 4.14,	N; 28.74
found :	C; 39.92,	H; 4.12,	N; 28.91

^1H NMR($CDCl_3$ - DMSO-d_6):

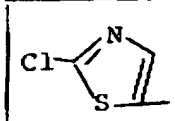
2.94(3H,d,J=5Hz), 4.51(2H,d,J=5Hz), 7.32(1H,d,J=8Hz), 7.75-(1H,dd,J=8, 2Hz), 7.82(1H,br.s), 8.37(1H,d,J=2Hz), 8.90(1H,br.s)

By the same method the compound of formula (I)



(I)

was obtained.

R^1	n	R^2	R^3	X	Mp (°C)
	1	H	MeNH	NO_2	172 - 173

Example 2

A mixture of 0.39g of 5-(aminomethyl)-2-bromothiazole, 0.30g of 1,2-dimethyl-3-nitroisothiourea, 0.58g of cuprous bromide, 0.55g of anhydrous potassium carbonate and 4ml of dry acetonitrile was stirred in an oil bath of 60°C for 45 minutes. The reaction mixture was purified by a column chromatography [developing solvent: dichloromethane-methanol (10:1)] to obtain 1-(2-bromo-5-thiazolylmethyl)-3-methyl-2-nitroguanidine, as white solid.

mp 170°C

^{1}HNMR(DMSO-d_6): 2.81(3H,d,J=5.0Hz), 4.51(2H,s), 7.60(1H,s), 8.08(1H,br.s), 8.93(1H,br.s)

By the same method the compound of formula (I) was obtained.

Example 3

An emulsifiable concentrate was prepared by well-mixing 20 wt% of Compound (I), 75 wt% of xylene and 5 wt% of polyoxyethylene glycol ether (Nonipol 85®).

Example 4

Wettable powders were prepared by well-mixing 30 wt% of Compound (I), 5 wt% of sodium ligninsulfonate, 5 wt% of polyoxyethylene glycol ether (Nonipol 85®), 30 wt% of white carbon and 30 wt% of clay.

Example 5

A dust was prepared by well mixing 3 wt% of Compound (I), 3 wt% of white carbon and 94 wt% of clay.

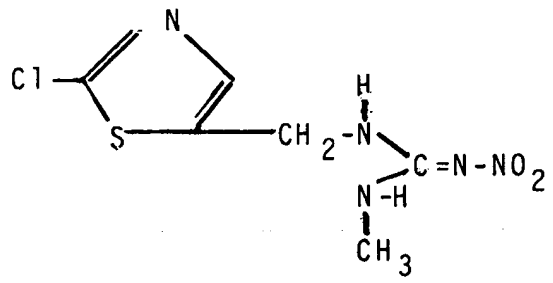
Example 6

Granules were prepared by thoroughly pulverizing and mixing 10 wt% of Compound (I), 5 wt% of sodium ligninsulfonate and 85 wt% of clay, kneading the mixture with water, granulating and drying the resultant.

Claims

Claims for the following Contracting States : AT, BE, CH, DE, FR, GB, GR, IT, LI, LU, NL, SE

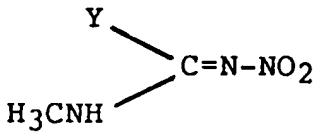
1. 1 - (2 - Chloro - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidine of the formula (I)



(I)

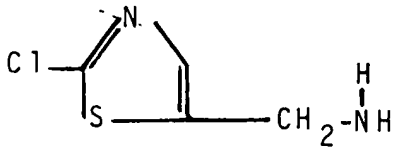
or salts thereof.

2. Process for preparing 1 - (2 - chloro - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidine according to claim 1 or a salt thereof, which comprises reacting a compound of the formula (II)



(II)

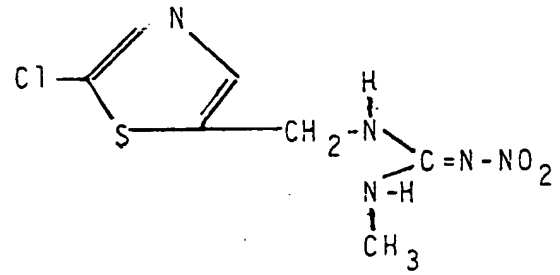
wherein Y is a leaving group or a salt thereof, with a compound of the formula (III)



(III)

or a salt thereof.

3. An insecticidal composition comprising 1 - (2 - chloro - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidine of the formula (I)



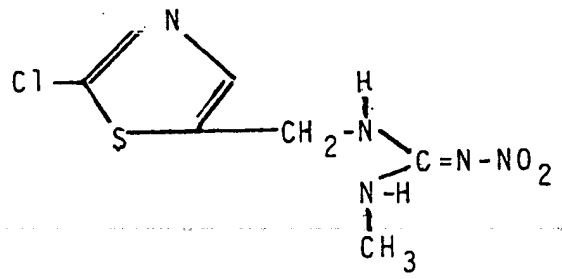
(I)

or a salt thereof and a carrier and/or diluent.

4. Use of 1 - (2 - chloro - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidine of the formula (I) or salts thereof as claimed in claim 1 in the preparation of an insecticidal composition.

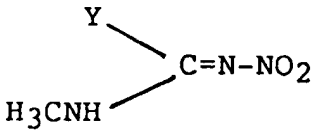
Claims for the following Contracting State : ES

1. Process for preparing 1 - (2 - Chloro - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidine of the formula (I)



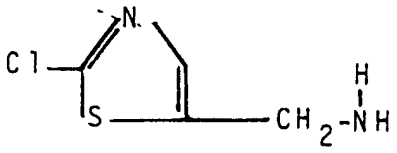
(I)

or salts thereof which comprises reacting a compound of the formula (II)



(II)

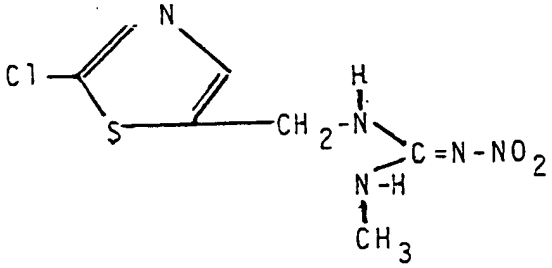
wherein Y is a leaving group or a salt thereof, with a compound of the formula (III)



(III)

or a salt thereof.

2. Process for preparing an insecticidal composition which comprises compounding 1 - (2 - chloro - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidine of the formula (I)



(I)

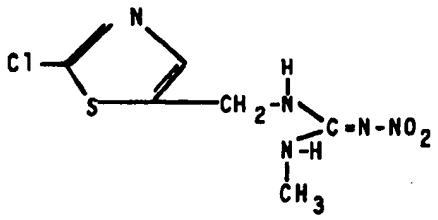
or a salt thereof with a carrier and/or diluent.

3. Use of 1 - (2 - chloro - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidine of the formula (I) or salts thereof as prepared according to claim 1 in the preparation of an insecticidal composition.

Patentansprüche

Patentansprüche für folgende Vertragsstaaten : AT, BE, CH, DE, FR, GB, GR, IT, LI, LU, NL, SE

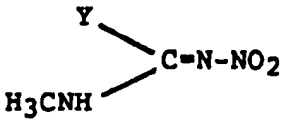
1. 1 - (2 - Chlor - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidin der Formel (I)



(I)

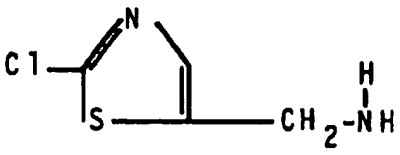
oder Salze desselben.

2. Verfahren zum Herstellen von 1 - (2 - Chlor - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidin gemäß Anspruch 1 oder einem Salz desselben, welches das Umsetzen einer Verbindung der Formel (II)



(II),

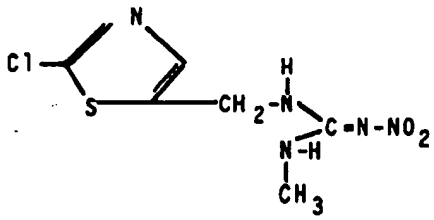
worin Y eine Abgangsgruppe ist, oder einem Salz derselben mit einer Verbindung der Formel (III)



(III)

oder einem Salz derselben umfaßt.

3. Insektizide Zusammensetzung umfassend 1 - (2 - Chlor - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitrogu - anidin der Formel (I)



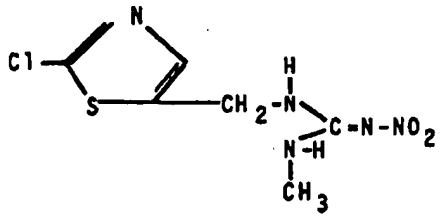
(I)

oder ein Salz desselben und einen Träger und/oder Verdünnungsmittel.

4. Verwendung von wie in Anspruch 1 beanspruchtem 1 - (2 - Chlor - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidin der Formel (I) oder Salzen desselben bei der Herstellung einer insektiziden Zusammen - setzung.

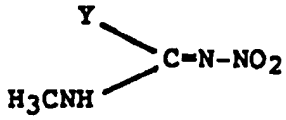
Patentansprüche für folgenden Vertragsstaat : ES

1. Verfahren zum Herstellen von 1 - (2 - Chlor - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidin der Formel (I)



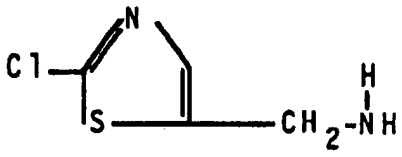
(I)

oder Salzen desselben, welches das Umsetzen einer Verbindung der Formel (II)



(II),

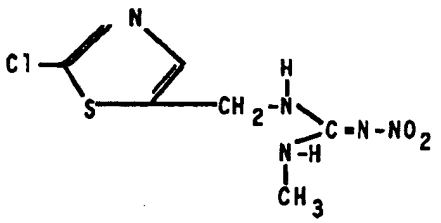
worin Y eine Abgangsgruppe ist, oder einem Salz derselben mit einer Verbindung der Formel (III)



(III)

oder einem Salz derselben umfaßt.

2. Verfahren zum Herstellen einer insektiziden Zusammensetzung, welches das Vermischen von 1 - (2 - Chlor - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidin der Formel (I)



(I)

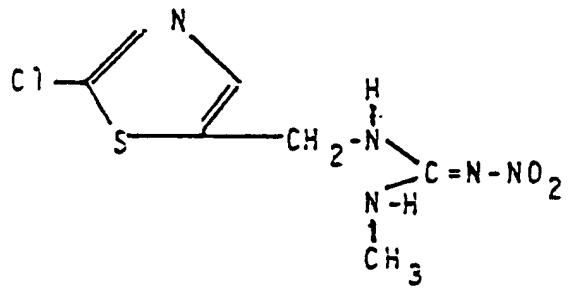
oder eines Salzes desselben mit einem Träger und/oder Verdünnungsmittel umfaßt.

3. Verwendung von gemäß Anspruch 1 hergestelltem 1 - (2 - Chlor - 5 - thiazolylmethyl) - 3 - methyl - 2 - nitroguanidin der Formel (I) oder Salzen desselben bei der Herstellung einer insektiziden Zusammensetzung.

Revendications

Revendications pour les Etats contractants suivants : AT, BE, CH, DE, FR, GB, GR, IT, LI, LU, NL, SE

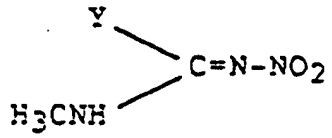
1. 1 - (2 - chloro - 5 - thiazolylméthyl) - 3 - méthyl - 2 - nitro - guanidine, de formule (I) :



(I)

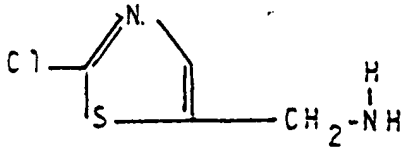
ou ses sels.

2. Procédé de préparation de la 1 - (2 - chloro - 5 - thiazolylméthyl) - 3 - méthyl - 2 - nitro - guanidine de la revendication 1, ou de l'un de ses sels, qui comporte la réaction d'un composé de formule (II) :



(II)

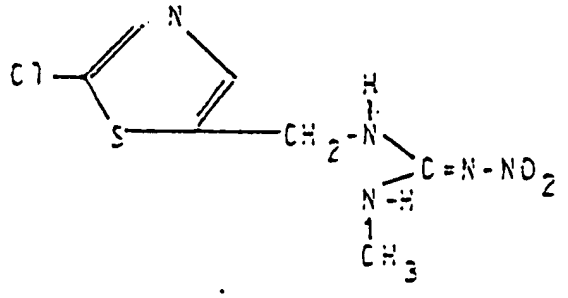
dans laquelle Y représente un groupe partant,
ou d'un sel d'un tel composé, avec un composé de formule (III) :



(III)

ou l'un de ses sels.

3. Composition insecticide comprenant de la 1 - (2 - chloro - 5 - thiazolylméthyl) - 3 - méthyl - 2 - nitro - guanidine de formule (I) :



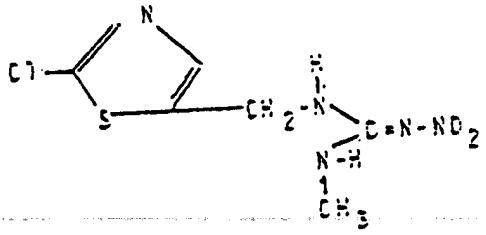
(I)

ou l'un de ses sels, et un véhicule et/ou un diluant.

4. Utilisation de la 1 - (2 - chloro - 5 - thiazolylméthyl) - 3 - méthyl - 2 - nitro - guanidine de formule (I) ou de ses sels, revendiqués dans la revendication 1, pour la préparation d'une composition insecticide.

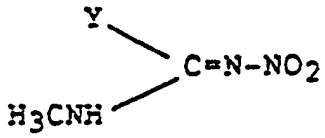
Revendications pour l'Etat contractant suivant : ES

1. Procédé de préparation de la 1 – (2 – chloro – 5 – thiazolylméthyl) – 3 – méthyl – 2 – nitro – guanidine de formule (I) :



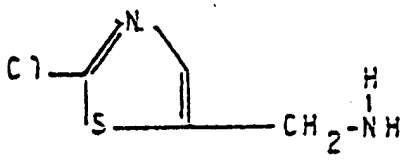
(I)

ou de l'un de ses sels, qui comporte la réaction d'un composé de formule (II) :



(II)

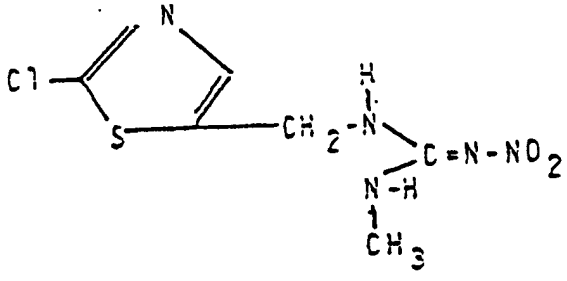
dans laquelle Y représente un groupe partant,
ou d'un sel d'un tel composé, avec un composé de formule (III) :



(III)

ou l'un de ses sels.

2. Procédé de préparation d'une composition insecticide, comprenant le fait de mélanger de la 1 – (2 – chloro – 5 – thiazolylméthyl) – 3 – méthyl – 2 – nitro – guanidine de formule (I) :



(I)

ou l'un de ses sels, avec un véhicule et/ou un diluant.

3. Utilisation de la 1 – (2 – chloro – 5 – thiazolylméthyl) – 3 – méthyl – 2 – nitro – guanidine de formule (I) ou de ses sels, préparés selon la revendication 1, pour la préparation d'une composition insecticide.